Connecting via Winsock to STN

* * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 13:08:29 ON 25 MAR 2009

=> file reg

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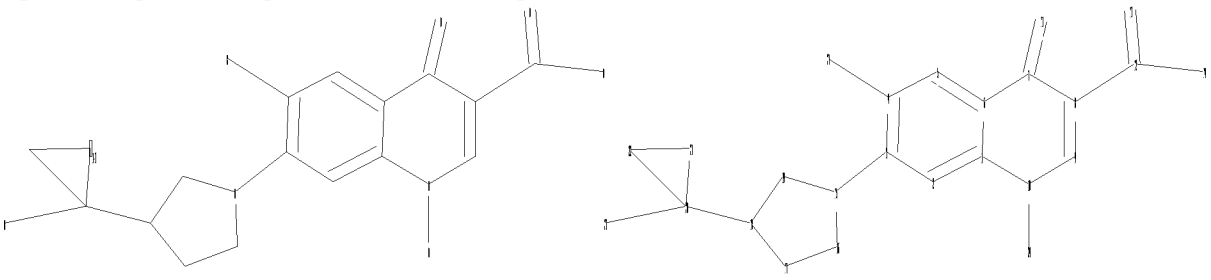

chain nodes :
11 12 13 14 23 24 27
ring nodes :
1 2 3 4 5 6 7 8 9 10 15 16 17 18 19 20 21 22
chain bonds :
3-15 4-27 7-11 8-12 10-24 12-13 12-14 18-20 20-23
ring bonds :
1-2 1-6 1-10 2-3 3-4 4-5 5-6 6-7 7-8 8-9 9-10 15-16 15-19 16-17 17-18
 18-19 20-21 20-22 21-22
exact/norm bonds :
1-10 3-15 6-7 7-8 7-11 8-9 9-10 10-24 12-13 12-14 15-16 15-19 16-17
17-18 18-19 20-21 20-22 20-23 21-22
exact bonds :
4-27 8-12 18-20
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom
 20:Atom 21:Atom 22:Atom 23:CLASS 24:CLASS 27:CLASS

L1   STRUCTURE UPLOADED

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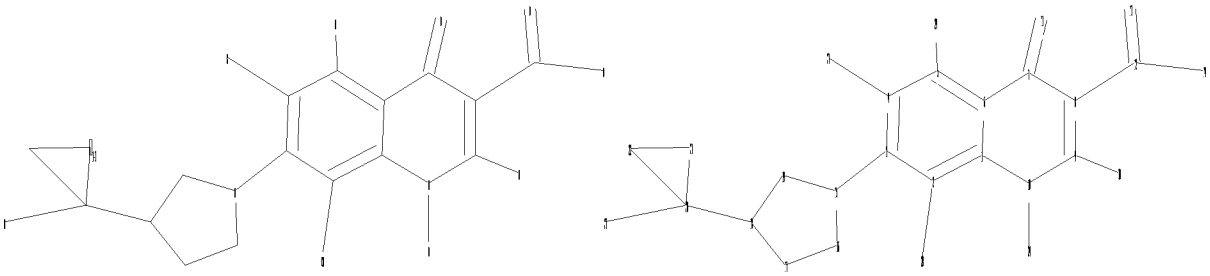

chain nodes :
11 12 13 14 23 24 27 28 29 30
ring nodes :
1 2 3 4 5 6 7 8 9 10 15 16 17 18 19 20 21 22
chain bonds :
2-28 3-15 4-27 5-29 7-11 8-12 9-30 10-24 12-13 12-14 18-20 20-23
ring bonds :
1-2 1-6 1-10 2-3 3-4 4-5 5-6 6-7 7-8 8-9 9-10 15-16 15-19 16-17 17-18
18-19 20-21 20-22 21-22
exact/norm bonds :
1-10 3-15 6-7 7-8 7-11 8-9 9-10 10-24 12-13 12-14 15-16 15-19 16-17
17-18 18-19 20-21 20-22 20-23 21-22
exact bonds :
2-28 4-27 5-29 8-12 9-30 18-20
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom
20:Atom 21:Atom 22:Atom 23:CLASS 24:CLASS 27:CLASS 28:CLASS 29:CLASS
30:CLASS

L2 STRUCTURE UPLOADED

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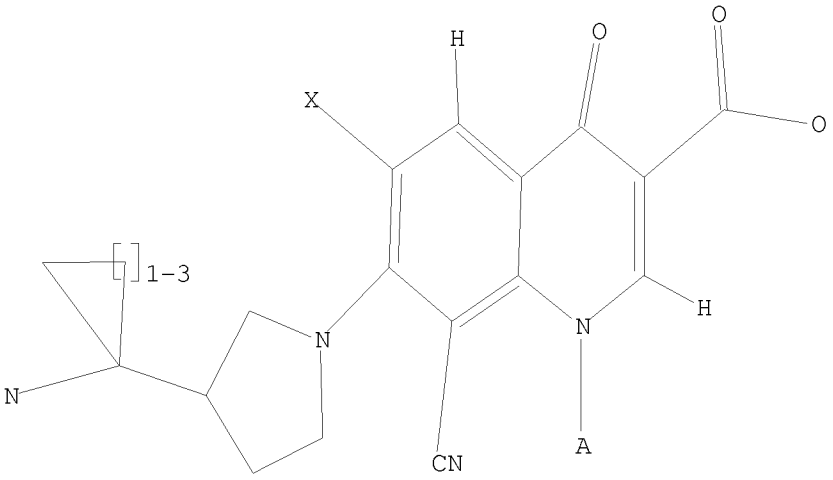
L2 HAS NO ANSWERS
L2 STR



Structure attributes must be viewed using STN Express query preparation.

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100.0% PROCESSED       40 ITERATIONS                                0 ANSWERS
SEARCH TIME: 00.00.01

L3            0 SEA SSS FUL L2

=> d l1
L1 HAS NO ANSWERS
L1              STR
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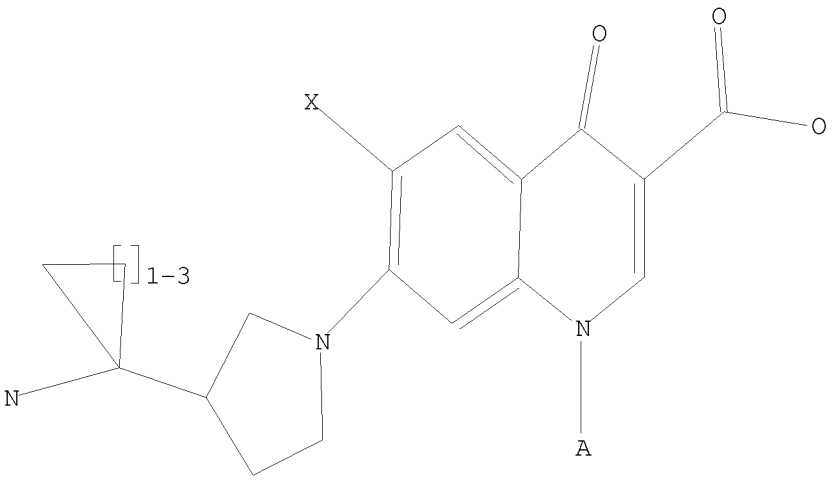

Structure attributes must be viewed using STN Express query preparation.

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FULL SCREEN SEARCH COMPLETED -      342 TO ITERATE

100.0% PROCESSED      342 ITERATIONS                                  1 ANSWERS
SEARCH TIME: 00.00.01

L4            1 SEA SSS FUL L1

=> d scan

L4   1 ANSWERS   REGISTRY  COPYRIGHT 2009 ACS on STN
IN   3-Quinolinecarboxylic acid, 7-[3-(1-aminocyclopropyl)-1-pyrrolidinyl]-1-
     ethyl-6,8-difluoro-1,4-dihydro-4-oxo-
MF   C19 H21 F2 N3 O3
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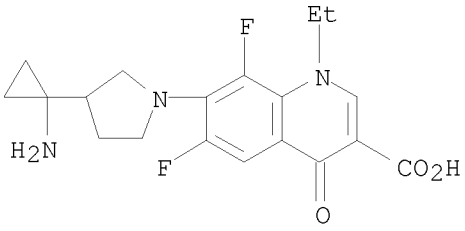


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**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

ALL ANSWERS HAVE BEEN SCANNED

=> file ca

=> d his

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     FILE 'REGISTRY' ENTERED AT 13:08:40 ON 25 MAR 2009
L1             STRUCTURE UPLOADED
L2             STRUCTURE UPLOADED
L3           0 S L2 FULL
L4           1 S L1 FULL

     FILE 'CA' ENTERED AT 13:10:06 ON 25 MAR 2009

=> s l4
L5           2 L4

=> d ibib abs hitstr 1-2
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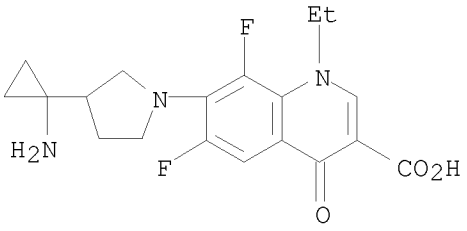
L5 ANSWER 1 OF 2 CA COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 123:9413 CA
ORIGINAL REFERENCE NO.: 123:1975a,1978a
TITLE: Synthesis and structure-activity relationships of 7-[3-(1-aminoalkyl)pyrrolidinyl]- and 7-[3-1-aminocycloalkyl)pyrrolidinyl]quinolone antibacterials
AUTHOR(S): Kimura, Youichi; Atarashi, Shohgo; Takahashi, Masanobu; Hayakawa, Isao
CORPORATE SOURCE: Exploratory Lab. I, Daiichi Pharmaceutical Co., Ltd., Tokyo, 134, Japan
SOURCE: Chemical & Pharmaceutical Bulletin (1994), 42(7), 1442-54
CODEN: CPBTAL; ISSN: 0009-2363
PUBLISHER: Pharmaceutical Society of Japan
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 123:9413
AB A series of 7-[3-(1-aminoalkyl- and 1-aminocycloalkyl)-1-pyrrolidinyl]quinolones have been prepared and their biol. properties evaluated. Among them, 1-(S)-aminoalkyl derivs. exhibited potent antibacterial activities against gram-pos. and gram-neg. organisms. They had moderate lipophilicity and high aqueous solubility compared to their aminomethyl counterparts.
IT 107334-09-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(synthesis of [(aminoalkyl)pyrrolidinyl]- and [(aminocycloalkyl)pyrrolidinyl]quinolones as antibacterials)
RN 107334-09-8 CA
CN 3-Quinolinecarboxylic acid, 7-[3-(1-aminocyclopropyl)-1-pyrrolidinyl]-1-ethyl-6,8-difluoro-1,4-dihydro-4-oxo- (CA INDEX NAME)



L5 ANSWER 2 OF 2 CA COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 106:138267 CA
ORIGINAL REFERENCE NO.: 106:22557a,22560a
TITLE: Preparation of pyrrolidinooxaquinolinecarboxylic acids as antimicrobials
INVENTOR(S): Hayakawa, Isao; Atarashi, Shohgo
PATENT ASSIGNEE(S): Daiichi Seiyaku Co., Ltd., Japan
SOURCE: Eur. Pat. Appl., 101 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent

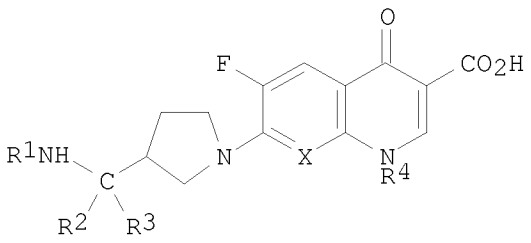
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 207420	A2	19870107	EP 1986-108547	19860623
EP 207420	A3	19880420		
EP 207420	B1	19920506		
R: AT, BE, CH, DE, FR, GB, IT, LI, NL, SE				
IN 163318	A1	19880903	IN 1986-MA473	19860618
IL 79189	A	19900712	IL 1986-79189	19860623
AT 75740	T	19920515	AT 1986-108547	19860623
FI 8602688	A	19861227	FI 1986-2688	19860624
FI 87071	B	19920814		
FI 87071	C	19921125		
NO 8602559	A	19861229	NO 1986-2559	19860625
NO 167090	B	19910624		
NO 167090	C	19911002		
AU 8659245	A	19870108	AU 1986-59245	19860625
AU 589978	B2	19891026		
ZA 8600473	A	19870225	ZA 1986-473	19860625
CA 1301760	C	19920526	CA 1986-512446	19860625
DK 8603046	A	19870223	DK 1986-3046	19860626
DK 170641	B1	19951120		
JP 62234082	A	19871014	JP 1986-150581	19860626
JP 07045491	B	19950517		
PL 145750	B2	19881031	PL 1986-260295	19860626
JP 09143157	A	19970603	JP 1993-148887	19860626
US 5098912	A	19920324	US 1989-449160	19891212
US 5416222	A	19950516	US 1991-812830	19911224
US 5380874	A	19950110	US 1994-205638	19940304
US 5476950	A	19951219	US 1995-406594	19950320

PRIORITY APPLN. INFO.:

JP 1985-139830	A	19850626
JP 1985-279991	A	19851212
EP 1986-108547	A	19860623
US 1986-878023	B1	19860624
JP 1986-150581	A3	19860626
US 1989-449160	A3	19891212
US 1991-812830	A3	19911224

OTHER SOURCE(S): CASREACT 106:138267; MARPAT 106:138267
GI



I

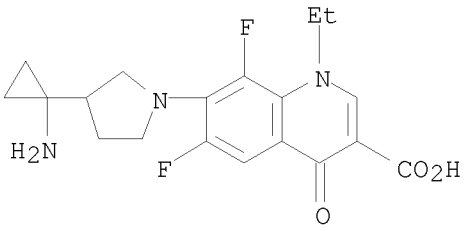
AB The title compds. (I; R1, R2, R3 = H, C1-6 alkyl; R2, R3 ≠ H at the same time; R1 with R2 or R3 = (CH2)n, n = 2-4; R2R3 = (CH2)m, m = 2-5; R4

= Et, FCH2CH2, H2C:CH, Me2CH, H2C:CMe, cyclopropyl; X = CH, CCl, CF, N) and their salts were prepared 1-Cyclopropyl-6,7,8-trifluoro-1,4-dihydro-4-oxoquinolinecarboxylic acid, 3-(1-tert-butoxycarbonylaminoethyl)pyrrolidine (prepared by catalytic reduction of the N-protected parent), and Et3N were refluxed to give the cyclopropylquinolinecarboxylic acid derivative, which was treated with F3CCO2H to give I (R1, R2 = H; R3 = Me; X = CF; R4 = cyclopropyl) (II). In tests against Escherichia coli and Shigella flexneri the min. inhibitory concentration for II was ≤0.05 μg/mL.

IT 107334-09-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as antimicrobial)

RN 107334-09-8 CA

CN 3-Quinolinecarboxylic acid, 7-[3-(1-aminocyclopropyl)-1-pyrrolidinyl]-1-ethyl-6,8-difluoro-1,4-dihydro-4-oxo- (CA INDEX NAME)



=> file marpat

=> d his

(FILE 'HOME' ENTERED AT 13:08:29 ON 25 MAR 2009)

FILE 'REGISTRY' ENTERED AT 13:08:40 ON 25 MAR 2009
L1 STRUCTURE UPLOADED
L2 STRUCTURE UPLOADED
L3 0 S L2 FULL
L4 1 S L1 FULL

FILE 'CA' ENTERED AT 13:10:06 ON 25 MAR 2009
L5 2 S L4

FILE 'STNGUIDE' ENTERED AT 13:10:59 ON 25 MAR 2009

FILE 'MARPAT' ENTERED AT 13:12:02 ON 25 MAR 2009

=> s l3 full
FULL SEARCH INITIATED 13:12:08 FILE 'MARPAT'
FULL SCREEN SEARCH COMPLETED - 4457 TO ITERATE

100.0% PROCESSED 4457 ITERATIONS 12 ANSWERS
SEARCH TIME: 00.00.03

L6 12 SEA SSS FUL L2

=> d ibib abs fqhit 1-12

L6 ANSWER 1 OF 12 MARPAT COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 149:409734 MARPAT
TITLE: Alcohol-containing quinolone pharmaceutical composition
INVENTOR(S): Hasegawa, Yoshihiro; Nishimoto, Yoji
PATENT ASSIGNEE(S): Daiichi Sankyo Co., Ltd., Japan
SOURCE: PCT Int. Appl., 60pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008114861	A1	20080925	WO 2008-JP55234	20080321

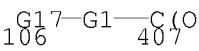
W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

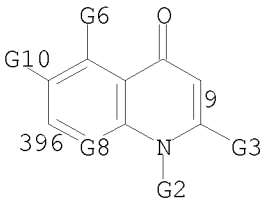
PRIORITY APPLN. INFO.: JP 2007-75013 20070322

AB The invention relates to a stable quinolone-containing aqueous pharmaceutical preparation, specifically, a stable quinolone -containing aqueous pharmaceutical preparation which is suppressed in the formation of insol. fine particle and/or substances analogous thereto by adding an alc., preferably an alc. having 1 to 3 carbon atoms. For example, a solution was formulated containing 7-[(7S)-7-amino-5-azaspiro[2.4]hept-5-yl]-8-chloro-6-fluoro-1-[(1R,2S)-2-fluorocyclopropyl]-1,4-dihydro-4-oxo-3-quinolinecarboxylic acid sesquihydrate 213.2, NaCl 450, ethanol 1,875 mg, HCl/NaOH q.s. to pH 4, and water for injection to 50 mL.

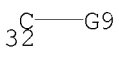
MSTR 1



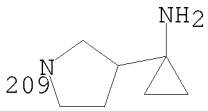
G1 = 396-106 9-407



G2 = alkyl <containing 1-6 C>
(opt. substd. by 1 or more G42)
G8 = 32



G9 = CN
G10 = F
G11 = OH
G17 = 209



Patent location: claim 1
Note: additional ring formation and substitution also claimed

REFERENCE COUNT: 31 THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

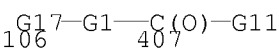
L6 ANSWER 2 OF 12 MARPAT COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 149:386639 MARPAT
TITLE: Method for manufacturing quinolone compound-containing freeze-dried compositions
INVENTOR(S): Nishimoto, Norihiro
PATENT ASSIGNEE(S): Daiichi Seiyaku Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 41pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2008231067	A	20081002	JP 2007-75875	20070323

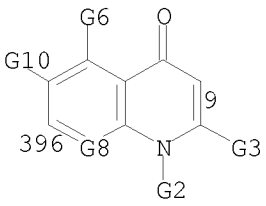
PRIORITY APPLN. INFO.: JP 2007-75875 20070323
AB It is intended to provide a method for manufacturing a freeze-dried composition containing only a quinolone compound and a pH adjuster, which is excellent in resoly. Disclosed is a method for amorphous freeze-dried composition including (1) cooling a solution containing a quinolone compound with specified formula, e.g.

levofloxacin, ofloxacin, sitafloxacin, etc., and a pH adjuster for obtaining a frozen body, (2) increasing the temperature of the frozen body (especially, annealing at -20 - -2°), and (3) re-cooling thereof to give a freeze-dried product. For example, levofloxacin 8000 mg was dissolved in water 350 mL, and the pH was adjusted to 7 with HCl/NaOH solution The solution 10 mL was filled in a vial, and subjected to a freeze-dryer for (1) cooling at 0.15°/min to -30° for 3 h, (2) increasing the temperature at 0.5°/min to -5° for 2 h, (3) cooling at 1°/min to -40° for ≥ 2 h, (4) vacuuming to 20 Pa at 15° for ≥ 30 h, and (5) holding the product at 25° 1Pa for ≥ 6 h.

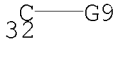
MSTR 1



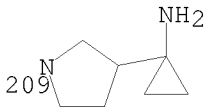
G1 = 396-106 9-407



G2 = alkyl <containing 1-6 C>
(opt. substd. by 1 or more G42)
G8 = 32



G9 = CN
G10 = F
G11 = OH
G17 = 209



Patent location: claim 1
Note: additional ring formation and substitution also claimed

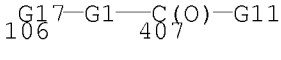
L6 ANSWER 3 OF 12 MARPAT COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 146:387110 MARPAT
TITLE: Method for production of quinolone-containing

lyophilized preparation
INVENTOR(S): Nishimoto, Norihiro
PATENT ASSIGNEE(S): Daiichi Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 61pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

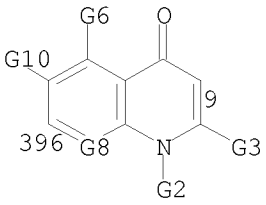
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007037330	A1	20070405	WO 2006-JP319307	20060928
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
EP 1930006	A1	20080611	EP 2006-810754	20060928
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS				
US 20080300403	A1	20081204	US 2008-67826	20080324
PRIORITY APPLN. INFO.:			JP 2005-282393	20050928
			WO 2006-JP319307	20060928

AB Disclosed is a lyophilized preparation which contains only a quinolone-type synthetic anti-bacterial compound and a pH adjusting agent and has an excellent re-solubilizing property. Also disclosed is a method for production of a lyophilized preparation comprising a quinolone-type synthetic anti-bacterial compound as an active ingredient. The method comprises the steps of cooling an aqueous solution containing a quinolone-type synthetic anti-bacterial compound and a pH adjusting agent to yield a frozen material, increasing the temperature temporarily, and re-cooling the material to lyophilize the material.

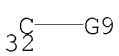
MSTR 1



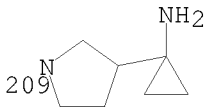
G1 = 396-106 9-407



G2 = alkyl <containing 1-6 C>
(opt. substd. by 1 or more G42)
G8 = 32



G9 = CN
G10 = F
G11 = OH
G17 = 209



Patent location: claim 1
Note: additional ring formation and substitution also claimed

REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 4 OF 12 MARPAT COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 143:193856 MARPAT
TITLE: Preparation of rifamycin derivatives for use in antibiotic pharmaceutical compositions which are effective against drug-resistant microbes
INVENTOR(S): Ma, Zhenkun; Jin, Yafei; Li, Jing; Ding, Charles Z.; Minor, Keith P.; Longgood, Jamie C.; Kim, In Ho; Harran, Susan; Combrink, Keith; Morris, Timothy W.
PATENT ASSIGNEE(S): Cumbre Inc., USA
SOURCE: PCT Int. Appl., 141 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005070940	A2	20050804	WO 2005-US943	20050112
WO 2005070940	A3	20050929		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,

CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT,
RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML,
MR, NE, SN, TD, TG

US 20050261262 A1 20051124 US 2005-34195 20050112
US 7247634 B2 20070724
EP 1730154 A2 20061213 EP 2005-705550 20050112
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR

PRIORITY APPLN. INFO.: US 2004-535990P 20040113
WO 2005-US943 20050112

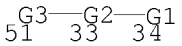
OTHER SOURCE(S): CASREACT 143:193856

GI

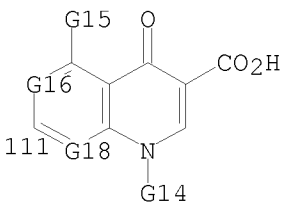
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Rifamycin S and SV derivs., such as I and II [X = bond, heterocyclic and/or heteroacyclic linking group; A = antibacterial agent or its pharmacophore], were prepared and were claimed for therapeutic use as antibacterial agents. The inventive rifamycin derivs. were uniquely designed in that they have a rifamycin moiety covalently linked to a linker group through the C-3 carbon of the rifamycin moiety and the linker is, in turn covalently linked to a therapeutic moiety or antibacterial agent/pharmacophore. The therapeutic moiety can be a quinolone, an oxazolidinone, a macrolide, an aminoglycoside, a tetracycline core or a structure/pharmacophore associated with an antibacterial agent. Thus, rifamycin S derivative III was prepared via a condensation reaction with 10% yield of 3-bromorifamycin S with sodium ciprofloxacin. The prepared rifamycin derivs. were assayed for antimicrobial activity organisms such as Staphylococcus aureus.

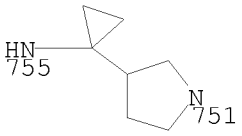
MSTR 1A



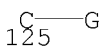
G1 = 111



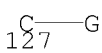
G2 = 755-51 751-34



G14 = Et
G16 = 125



G17 = F
G18 = 127



G19 = CN
Patent location: claim 1
Note: or salts and/or hydrates and/or prodrugs
Note: substitution is restricted

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 5 OF 12 MARPAT COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 143:172685 MARPAT
TITLE: Preparation of rifamycin iminomethylenyl quinolone derivatives effective against drug-resistant microbes
INVENTOR(S): Ding, Charles Z.; Jin, Yafei; Longgood, Jamie C.; Ma, Zhenkun; Li, Jing; Kim, In Ho; Minor, Keith P.; Harran, Susan
PATENT ASSIGNEE(S): Cumbre Inc., USA
SOURCE: PCT Int. Appl., 117 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005070941	A1	20050804	WO 2005-US838	20050112

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,

AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 20050209210 A1 20050922 US 2005-34279 20050112
US 7238694 B2 20070703
EP 1723150 A1 20061122 EP 2005-705477 20050112
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR

PRIORITY APPLN. INFO.: US 2004-536018P 20040113
WO 2005-US838 20050112

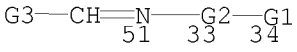
OTHER SOURCE(S): CASREACT 143:172685

GI

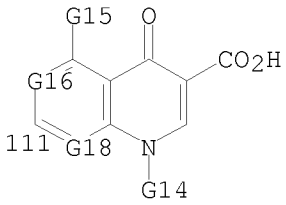
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Rifamycin 3-iminomethylenyl (-CH=N-) derivs. of formula I [A = quinolone group; X = alkylene, arylene, heterocyclylene, CO, C=N, O, etc.; R = H, acetyl, etc.] are prepared which have antimicrobial activities, including activities against drug-resistant microorganisms. The claimed rifamycin derivative has a rifamycin moiety covalently linked to a linker through an iminomethylenyl (-CH = N-) group at the C-3 carbon of the rifamycin moiety and the linker is, in turn, covalently linked to a quinolone structure or its pharmacophore within the DNA gyrase and topoisomerase IV inhibitor family. The inventive rifamycins are novel and exhibit activity against both rifampin and ciprofloxacin-resistant microorganisms. Thus, II was prepared from ciprofloxacin and 3-formylrifamycin SV. The prepared compds. have MIC values of 0.06-16 mcg/mL against Staphylococcus aureus ATCC 29213 RpoBH418Y.

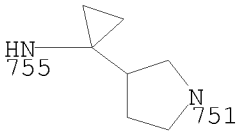
MSTR 1



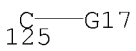
G1 = 111



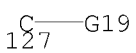
G2 = 755-51 751-34



G14 = Et
G16 = 125



G17 = F
G18 = 127



G19 = CN
Patent location: claim 1
Note: or salts and/or hydrates and/or prodrugs
Note: substitution is restricted

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 6 OF 12 MARPAT COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 143:26640 MARPAT
TITLE: Preparation of quinolone antibacterial agents
INVENTOR(S): Ellsworth, Edmund Lee; Taylor, Clarke Bentley; Murphy, Sean Timothy; Rauckhorst, Mark Ryan; Starr, Jeremy Tyson; Hutchings, Kim Marie; Limberakis, Chris; Hoyer, Denton Wade
PATENT ASSIGNEE(S): Warner-Lambert Company LLC, USA
SOURCE: PCT Int. Appl., 208 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

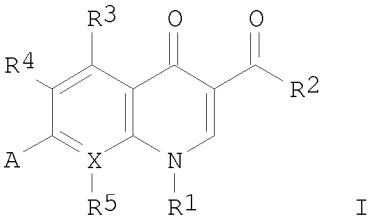
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005049602	A1	20050602	WO 2004-IB3666	20041105

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO,

SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

NL 1027545 C2 20060117 NL 2004-1027545 20041118
PRIORITY APPLN. INFO.: US 2003-523071P 20031118
US 2004-605496P 20040831

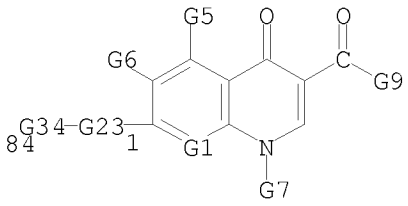
GI



I

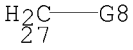
AB Compds. of formula I, e.g., 7-[3-(2-Cyanoethylamino)pyrrolidin-1-yl]-1-cyclopropyl-6-fluoro-8-methoxy-4-oxo-1,4-dihydroquinoline-3-carboxylic acid, can be used in a variety of applications including use as antibacterial agents. The compds., method of treatment using the compds., and formulations containing the compds. are claimed. Methods of preparation of the compds. are exemplified. The compds. of the invention were tested against a variety of gram-neg. and gram-pos. organisms.

MSTR 1A

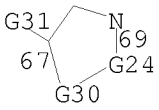


G1 = 17

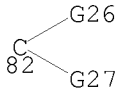
G2 = CN
G6 = F
G7 = 27



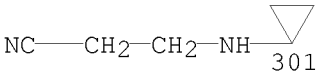
G9 = OH
G23 = 67-84 69-1



G24 = (0-2) CH2
G30 = 82



G34 = 301



Patent location: claim 1
Note: additional ring and ring oxo formation also disclosed
Note: or pharmaceutically acceptable salts

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

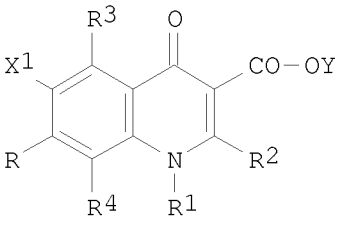
L6 ANSWER 7 OF 12 MARPAT COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 135:210947 MARPAT
TITLE: Process for producing quinolonecarboxylic acids and intermediates thereof
INVENTOR(S): Saito, Tatsuru; Jouno, Toshiaki; Tani, Yu-ichiro; Akiba, Toshifumi
PATENT ASSIGNEE(S): Daiichi Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 77 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001062734	A1	20010830	WO 2001-JP1370	20010223
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2400819	A1	20010830	CA 2001-2400819	20010223
AU 2001034159	A	20010903	AU 2001-34159	20010223

EP 1258478	A1	20021120	EP 2001-906267	20010223
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
US 20030060631	A1	20030327	US 2002-204550	20020822
US 6825353	B2	20041130		
NO 2002004046	A	20021024	NO 2002-4046	20020823
PRIORITY APPLN. INFO.:			JP 2000-54349	20000225
			JP 2000-117208	20000413
			WO 2001-JP1370	20010223

OTHER SOURCE(S): CASREACT 135:210947

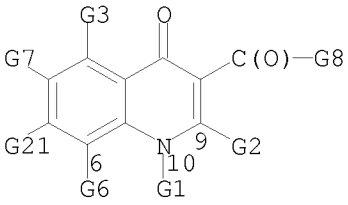
GI



I

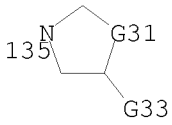
AB The title compds. I [X1 = H, halo; R = N-containing basic substituent; R1 = alkyl, etc.; R2 = H, alkylthio; further detail related to R1 and R2 is given; R3 = H, alkoxy, etc.; R4 = H, halo, etc.; Y = H, Ph, etc.] are prepared by reaction of I [X1, R1 - R4, Y = as given above; R = halo] with an N-containing basic compound under pressure, optionally in the presence of a base. I are useful as potential antimicrobials and agrochems. (no data). Thus, a mixture of 5-amino-1-cyclopropyl-6,7-difluoro-1,4-dihydro-8-methyl-4-oxoquinoline-3-carboxylic acid and (7S)-7-tert-butoxycarbonylamino-5-azaspiro[2.4]heptane in dimethylsulfoxide was heated at 80° under pressure (2.94 x 108 Pa) for 7 h to give 5-amino-7-[(7S)-7-tert-butoxycarbonylamino-5-azaspiro[2.4]hept-5-yl]-1-cyclopropyl-6-fluoro-1,4-dihydro-8-methyl-4-oxoquinoline-3-carboxylic acid (II) : the formation rate of II was 35%. When the above reaction was done at 80° for 7 h under atmospheric pressure, the formation rate of II was 10%.

MSTR 3

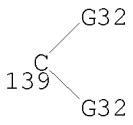


G1 = alkyl <containing 1-6 C>
(opt. substd. by 1 or more halo)
G6 = CN
G7 = halo
G8 = OH

G21 = 135



G31 = 139



G32 = cyclopropyl (substd. by NH2 (opt. substd.))
Patent location: claim 1

REFERENCE COUNT: 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 8 OF 12 MARPAT COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 135:180773 MARPAT
TITLE: Preparation of oxoquinolinecarboxylic acid, oxonaphthyridinecarboxylic acid, and pyridobenzoxazinecarboxylic acid derivatives as antibacterial agents
INVENTOR(S): Takemura, Makoto; Takahashi, Hisashi; Kawakami, Katsuhiro; Namba, Kenji; Tanaka, Mayumi; Miyauchi, Rie
PATENT ASSIGNEE(S): Daiichi Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 104 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001058876	A1	20010816	WO 2001-JP861	20010207
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2398988	A1	20010816	CA 2001-2398988	20010207
AU 2001032238	A	20010820	AU 2001-32238	20010207
EP 1262477	A1	20021204	EP 2001-904335	20010207
EP 1262477	B1	20080903		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
AU 2001232238	B2	20050324	AU 2001-232238	20010207

RU 2297420	C2	20070420	RU 2004-137055	20010207
CN 1312131	C	20070425	CN 2001-807733	20010207
RU 2299205	C2	20070520	RU 2002-121245	20010207
AT 407121	T	20080915	AT 2001-904335	20010207
IL 151035	A	20081229	IL 2001-151035	20010207
ES 2312411	T3	20090301	ES 2001-904335	20010207
TW 283668	B	20070711	TW 2001-90102897	20010209
US 20030119848	A1	20030626	US 2002-203199	20020807
US 7176313	B2	20070213		
NO 2002003764	A	20021009	NO 2002-3764	20020808
NO 325656	B1	20080630		
MX 2002007667	A	20030414	MX 2002-7667	20020808
KR 817425	B1	20080327	KR 2002-710292	20020809
HK 1048118	A1	20090109	HK 2003-100293	20030113
AU 2004240167	A1	20050113	AU 2004-240167	20041216
AU 2004240167	B2	20080124		
PRIORITY APPLN. INFO.:			JP 2000-38099	20000209
			AU 2001-232238	20010207
			RU 2002-121245	20010207
			WO 2001-JP861	20010207

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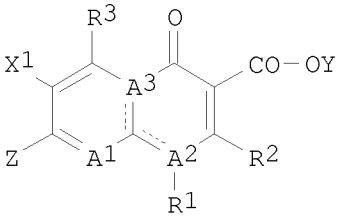


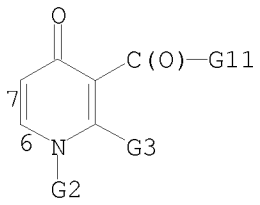
I

AB The title compds. I [R1 = alkyl, etc.; R2 = H, alkylthio; further details on R1 and R2 are given; R3 = H, alkoxy, etc.; A1 = N, etc.; A2, A3 = N, C; further details on A1, A2, A3 are given; X1 = halo, etc.; Y = H, Ph, etc.; Z = heterocyclic substituent; further details on said heterocyclic substituent are given] are prepared I show excellent antibacterial activity (against M. tuberculosis and atypical acid-fast bacteria), favorable kinetics in vivo and high safety. Several compds. of this invention in vitro show MICs of 0.78 μg/mL to 3.13 μg/mL against rifampicin-resistant M. tuberculosis, vs. MIC of 25 μg/mL shown by ofloxacin. Formulations are given.

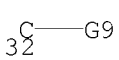
MSTR 1

G17—G38

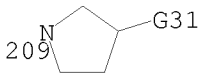
G1 = 7-3 6-5



G2 = alkyl <containing 1-6 C>
(opt. substd. by 1 or more halo)
G8 = 32

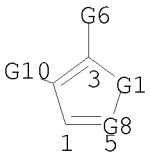


G9 = CN
G10 = halo
G11 = OH
G17 = 209



G31 = 298

G38 = 1



Patent location: claim 1
Note: or salts or hydrates
Note: additional ring formation also claimed
Note: additional substitution also claimed

REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

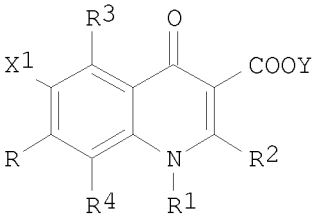
L6 ANSWER 9 OF 12 MARPAT COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 134:4869 MARPAT
TITLE: Preparation of quinolonecarboxylic acids under high

pressure

INVENTOR(S): Takemura, Makoto; Takahashi, Hisashi; Kawakami, Kachihiro; Takeda, Satoshi; Inagaki, Hiroaki
PATENT ASSIGNEE(S): Daiichi Seiyaku Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 19 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2000319261	A	20001121	JP 1999-132638	19990513

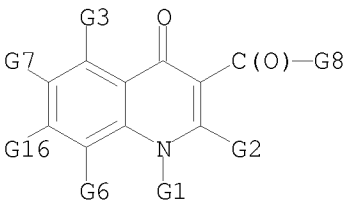
PRIORITY APPLN. INFO.: JP 1999-132638 19990513
OTHER SOURCE(S): CASREACT 134:4869
GI



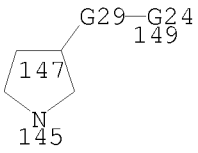
I

AB Quinolonecarboxylic acids I [R = mono-, di-, or tricyclic N-containing (un)substituted heterocyclyl bonded via the N; R1 = C1-6 (halo)alkyl, (un)substituted C3-6 cycloalkyl, (un)substituted aryl, etc.; R2 = H, C1-6 alkylthio; R1R2 may be linked to form (S-containing) (un)substituted ring; R3 = H, (un)substituted amino, SH, C1-6 alkyl, etc.; R4 = H, (un)substituted amino, halo, cyano, C1-6 alkyl, etc.; X1 = halo, H; Y = H, Ph, AcOCH2, 5-indanyl, etc.], useful as bactericides (no data), are prepared by treatment of I (R = halo; R1-R4, X1, Y = same as above) with mono-, di-, or tricyclic N-containing (un)substituted heterocycles under pressure (in the presence of bases). Condensation of I [R = X1 = F, R1 = (2S)-fluoro-(1R)-cyclopropyl, R2 = Y = H, R3 = NH2, R4 = Me] (II) with (7S)-tert-butoxycarbonylamino-5-azaspiro[2.4]heptane in DMSO at 100° for 48 h in a sealed tube gave 41.7% the corresponding condensate with 40.6% unreacted II, vs. 35.0 and 3.5%, when conducted under ambient pressure.

MSTR 3



G1 = alkyl <containing 1-6 C>
(opt. substd. by 1 or more halo)
G6 = CN
G7 = halo
G8 = OH
G16 = 145



G24 = NH2
G29 = 138

138

Patent location: claim 1

L6 ANSWER 10 OF 12 MARPAT COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 133:237871 MARPAT
TITLE: Preparation of cis-substituted aminocycloalkylpyrrolidine derivatives of 1,4-dihydro-4-oxoquinoline-3-carboxylic acids as antimicrobial drugs
INVENTOR(S): Takemura, Makoto; Kimura, Youichi; Takahashi, Hisashi; Kimura, Kenichi; Miyauchi, Satoru; Ohki, Hitoshi; Sugita, Kazuyuki; Miyauchi, Rie
PATENT ASSIGNEE(S): Daiichi Pharmaceutical Co., Ltd., Japan
SOURCE: U.S., 67 pp., Cont.-in-part of Appl. No. PCT/JP96/03440.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6121285	A	20000919	US 1998-82155	19980521
WO 9719072	A1	19970529	WO 1996-JP3440	19961122
W: AL, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, GE, HU, IL, IS, JP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TR, TT, UA, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
ZA 9804273	A	19981125	ZA 1998-4273	19980520
US 6184388	B1	20010206	US 1999-397515	19990917

PRIORITY APPLN. INFO.:

JP 1995-304129	19951122
JP 1996-192637	19960723
WO 1996-JP3440	19961122
JP 1997-131413	19970521
JP 1997-140643	19970529
US 1998-82155	19980521

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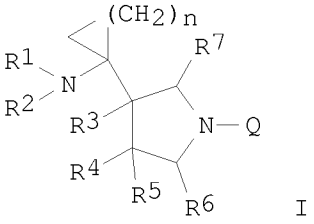
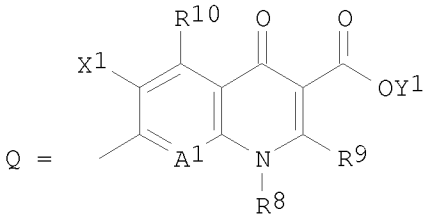
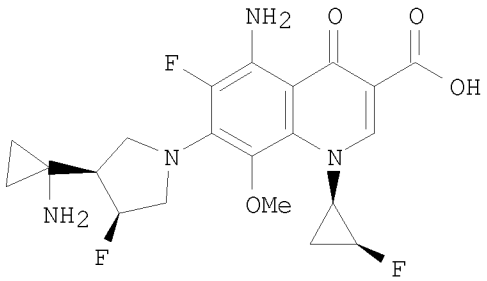


I

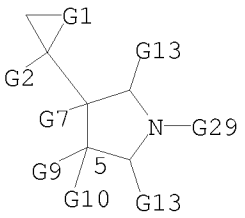




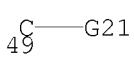
II

AB The title compds. (I) [wherein R1, R6, and R7 = independently H or alkyl; R2 = H or (un)substituted alkyl; R3 = H, OH, halo, carbamoyl, alkyl, alkoxy, or alkylthio; one of R4 and R5 = H and the other is CH2OH, Me, OMe, or F; or R4 and R5 together = hydroxyimino, a polymethylene chain of 3-6 C's which form a spirocyclic structure together with the pyrrolidine ring or an alkoxyimino group; n = 1-3; R8 = (halo)alkyl, alkenyl, alkoxy, alkylamino, (un)substituted cycloalkyl or (hetero)aryl, etc.; R9 = H or alkylthio; X1 = H or halo; R10 = H, NH2, OH, SH, halomethyl, alkyl, alkenyl, or alkoxy; A1 = N or (un)substituted C; Y1 = H, Ph, acetoxymethyl, pivaloyloxymethyl, ethoxycarbonyl, etc.] were prepared I have excellent antimicrobial activity and are highly safe. Thus, 1-benzyloxycarbonyl-4-(R)-(1-tert-butoxycarbonylaminocyclopropyl)-3-(S)-fluoropyrrolidine was dissolved in EtOH and hydrogenated using Pd/C. A solution of the residue and DMSO was mixed with TEA and 5-amino-6,7-difluoro-1-[2-(S)-fluoro-1-(R)-cyclopropyl]-1,4-dihydro-8-methoxy-4-oxoquinoline-3-carboxylic acid to give II (43%). II was tested on 13 microbial strains and showed potent inhibition with MIC values ranging from ≤ 0.003 μg/mL to 0.39 μg/mL. In an acute toxicity test on male mice, none of the five mice died upon administration of 150 mg/kg doses of II.

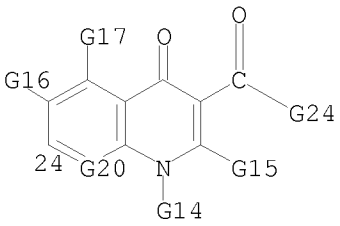
MSTR 1



G1 = (1-3) CH2
G2 = NH2
G14 = alkyl <containing 1-6 C>
G16 = halo
G20 = 49



G21 = CN
G24 = OH
G29 = 24



Patent location: claim 1
Note: and free acids or hydrates
Note: also incorporates claim 30 and broader disclosure

REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 11 OF 12 MARPAT COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 130:52343 MARPAT
TITLE: Preparation of substituted cyclobutylamine derivatives as antibacterial agents
INVENTOR(S): Takemura, Makoto; Takahashi, Hisahi; Sugita, Kazuyuki; Miyauchi, Rie
PATENT ASSIGNEE(S): Daiichi Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 73 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

WO 9854169		A1	19981203	WO 1998-JP2359	19980528
	W:	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW			
	RW:	GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG			
ZA 9804527		A	19981203	ZA 1998-4527	19980527
CA 2292580		A1	19981203	CA 1998-2292580	19980528
AU 9874539		A	19981230	AU 1998-74539	19980528
AU 732175		B2	20010412		
EP 990654		A1	20000405	EP 1998-921863	19980528
EP 990654		B1	20071114		
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY			
BR 9809702		A	20011211	BR 1998-9702	19980528
RU 2205829		C2	20030610	RU 1999-125325	19980528
CN 1191245		C	20050302	CN 1998-807806	19980528
IL 133123		A	20051218	IL 1998-133123	19980528
AT 378327		T	20071115	AT 1998-921863	19980528
ES 2297885		T3	20080501	ES 1998-921863	19980528
IN 1998MA01175		A	20050304	IN 1998-MA1175	19980529
NO 9905839		A	20000128	NO 1999-5839	19991129
NO 318143		B1	20050207		
MX 9911056		A	20000430	MX 1999-11056	19991130
US 6448266		B1	20020910	US 1999-424780	19991130
PRIORITY APPLN. INFO.:				JP 1997-141398	19970530
				WO 1998-JP2359	19980528

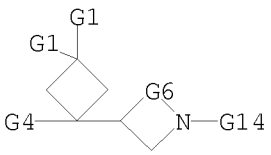
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

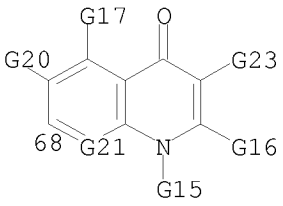
AB Substituted cyclobutylamine derivs. with novel structures represented by general formula [I; R1, R2 = H, OH, halo, CONH2, (un)substituted C1-6 alkyl, C1-6 alkoxy or alkylthio (excluding the case where both R1 and R2 are H); R3, R4 = H, (un)substituted C1-6 alkyl; n = 1,2; R5 = C1-6 alkyl, C2-6 alkenyl, C1-6 haloalkyl, (un)substituted C3-6 cycloalkyl, aryl, or heteroaryl, C1-6 alkoxy or alkylamino; R6 = H, C1-6 alkylthio; or R6 and R5 are joined together to form a cyclic structure including the parent ring, optionally containing S, and optionally having C1-6 alkyl substituent; R7 = H, (un)acylated NH2, thiol, halomethyl, C1-6 alkyl, C2-6 alkenyl, C2-6 alkynyl, C1-6 alkoxy; X1 = H, halo; A1 = Q; wherein X1 = H, NH2, halo, cyano, halomethyl, halomethoxy, etc.; or X2 and R5 are joined together to form a cyclic structure including the parent ring, optionally containing O, N, or S, and optionally having C1-6 alkyl substituent: A2, A3 = N, C; or A2 and A3 together with the attached C atoms represent the partial structure Q2 or Q3; Y = H, Ph, acetoxymethyl, pivaloyloxymethyl, ethoxycarbonyl, cholinyl, dimethylaminoethyl, 5-indanyl, etc.] are prepared These derivs. are useful as antibacterial compds. which have excellent antibacterial actions over a wide scope of bacteria including gram-neg. and gram-pos. ones, exert potent antibacterial activities particularly on methicillin-resistant (Staphylococcus aureus) (MRSA), penicillin-resistant

Streptococcus pneumoniae and quinolone-resistant bacteria and are excellent in the (in vivo) dynamics and safety. Thus, 5-amino-6,7-difluoro-1-[2-(S)-fluoro-1-(R)-cyclopropyl]-8-methyl-1,4-dihydro-4-oxoquinoline-3-carboxylic acid and 3-[3-(tert-butoxycarbonylamino)-1-fluorocyclobutan-3-yl]pyrrolidine (preparation given) were suspended in DMSO, followed by adding Et3N, and the resulting mixture was stirred at 110° for 72 h. The solvent was distilled off under reduced pressure and the residue was treated with concentrated HCl under ice-cooling to give, after workup and chromatog. purification, the title compound (II) in 36.0% yield. II showed min. inhibitory concentration of 0.013 and ≤0.003 μg/mL against Staphylococcus aureus 870307 and Streptococcus pneumoniae J24, resp. Pharmaceutical formulations containing I were prepared

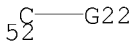
MSTR 1



G4 = NH2
G6 = (1-2) CH2
G14 = 68



G15 = alkyl <containing 1-6 C>
(opt. substd. by 1 or more halo)
G20 = halo
G21 = 52



G22 = CN
G23 = CO2H
Derivative: and salts or hydrates
Patent location: claim 1
Note: additional ring formation also claimed

REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

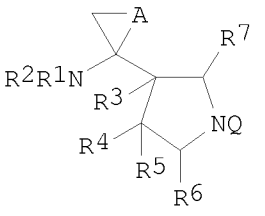
L6 ANSWER 12 OF 12 MARPAT COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 127:50550 MARPAT
TITLE: Preparation and formulation of substituted aminocycloalkylpyrrolidinylquinolines as medical bactericides
INVENTOR(S): Takemura, Makoto; Kimura, Youichi; Takahashi, Hisashi; Kimura, Kenichi; Miyauchi, Satoru; Ohki, Hitoshi
PATENT ASSIGNEE(S): Daiichi Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 104 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

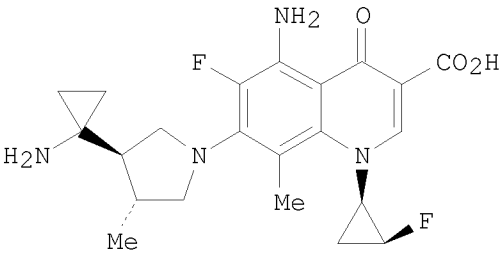
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9719072	A1	19970529	WO 1996-JP3440	19961122
W: AL, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, GE, HU, IL, IS, JP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TR, TT, UA, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2238765	A1	19970529	CA 1996-2238765	19961122
AU 9675898	A	19970611	AU 1996-75898	19961122
AU 707889	B2	19990722		
CN 1207738	A	19990210	CN 1996-199713	19961122
CN 1119343	C	20030827		
EP 911328	A1	19990428	EP 1996-938533	19961122
EP 911328	B1	20060208		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
NZ 322202	A	20000526	NZ 1996-322202	19961122
TW 402601	B	20000821	TW 1996-85114493	19961122
AT 317393	T	20060215	AT 1996-938533	19961122
PT 911328	T	20060531	PT 1996-938533	19961122
ES 2258780	T3	20060901	ES 1996-938533	19961122
JP 4040091	B2	20080130	JP 1997-519602	19961122
NO 9802297	A	19980722	NO 1998-2297	19980520
US 6121285	A	20000919	US 1998-82155	19980521
US 6184388	B1	20010206	US 1999-397515	19990917

PRIORITY APPLN. INFO.:	
	JP 1995-304129 19951122
	JP 1996-192637 19960723
	WO 1996-JP3440 19961122
	JP 1997-131413 19970521
	JP 1997-140643 19970529
	US 1998-82155 19980521

GI



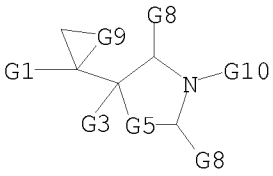
I



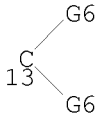
II

AB The title compds. I [R1 = H, alkyl; R2 = H, (un)substituted alkyl; R3 = H, halo, etc.; R4, R5 = H, OH, etc.; further details on R4, R5 are given; R6, R7 = H, alkyl; A = (CH2)n; n = 1 - 3; Q = quinoline moiety or analog (generic structures given)] are prepared The title compound II (preparation given) in vitro showed MIC of 0.1 μg/mL against Pseudomonas aeruginosa 32121.

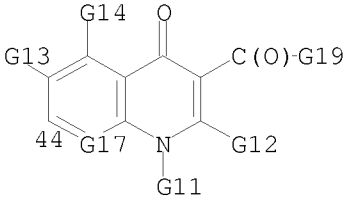
MSTR 1



G1 = NH2
G5 = 13



G9 = (1-3) CH2
G10 = 44



G11 = alkyl <containing 1-6 C>
(opt. substd. by 1 or more halo)
G13 = halo
G17 = 66

C---G18
66

G18   = CN
G19   = OH
Derivative: and salts or hydrates
Patent location: claim 1

REFERENCE COUNT: 3   THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS
                               RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d his

     (FILE 'HOME' ENTERED AT 13:08:29 ON 25 MAR 2009)

     FILE 'REGISTRY' ENTERED AT 13:08:40 ON 25 MAR 2009
L1   STRUCTURE UPLOADED
L2   STRUCTURE UPLOADED
L3   0 S L2 FULL
L4   1 S L1 FULL

     FILE 'CA' ENTERED AT 13:10:06 ON 25 MAR 2009
L5   2 S L4

     FILE 'STNGUIDE' ENTERED AT 13:10:59 ON 25 MAR 2009

     FILE 'MARPAT' ENTERED AT 13:12:02 ON 25 MAR 2009
L6   12 S L3 FULL

=>

---Logging off of STN---

=>
Executing the logoff script...

=> LOG Y

STN INTERNATIONAL LOGOFF AT 13:13:04 ON 25 MAR 2009